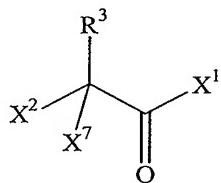


This listing of claims will replace all prior versions and listings of claims in the application.

Listing of Claims

1. (Currently Amended) A compound of Formula I:



I

in which:

X¹ is -NHC(R¹)(R²)X³ or -NHX⁴;

X² is hydrogen, fluoro, -OH, -OR⁴, -NHR¹⁵ or -NR¹⁷R¹⁸ and X⁷ is hydrogen or X² and X⁷ both represent fluoro;

X³ is cyano, -C(R⁷)(R⁸)R¹⁶, -C(R⁶)(OR⁶)₂, -CH₂C(O)R¹⁶, -CH=CHS(O)₂R⁵, -C(O)CF₂C(O)NR⁵R⁵, -C(O)C(O)NR⁵R⁶, -C(O)C(O)OR⁵, -C(O)CH₂OR⁵, -C(O)CH₂N(R⁶)SO₂R⁵ or -C(O)C(O)R⁵; wherein R⁵ is hydrogen, (C₁₋₄)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl; R⁶ is hydrogen, hydroxy or (C₁₋₆)alkyl; or where X³ contains an -NR⁵R⁶ group, R⁵ and R⁶ together with the nitrogen atom to which they are both attached, form hetero(C₃₋₁₀)cycloalkyl, hetero(C₅₋₁₀)aryl or hetero(C₈₋₁₀)bicycloaryl; R⁷ is hydrogen or (C₁₋₄)alkyl and R⁸ is hydroxy or R⁷ and R⁸ together form oxo; R¹⁶ is hydrogen, -X⁴, -CF₃, -CF₂CF₂R⁹ or -N(R⁶)OR⁶; R⁹ is hydrogen, halo, (C₁₋₄)alkyl, (C₅₋₁₀)aryl(C₀₋₆)alkyl or (C₅₋₁₀)heteroaryl(C₀₋₆)alkyl, with the proviso that when X³ is cyano, then X² is hydrogen, fluoro, -OH, -OR⁴ or -NR¹⁷R¹⁸ and X⁷ is hydrogen or X² and X⁷ both represent fluoro;

X^4 is comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when $-X^4$ is other than a heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then X^2 is fluoro, -OH, -OR⁴, -NHR¹⁵ or -NR¹⁷R¹⁸ and X^7 is hydrogen or X^2 and X^7 both represent fluoro;

wherein within R⁵, X³ or X⁴ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵ is a bond or (C₁₋₆)alkylene; R¹² at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; R¹³ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; and R¹⁴ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, or (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl;

R¹ is hydrogen or (C₁₋₆)alkyl and R² is selected from a group consisting of hydrogen, cyano, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -R¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵S(O)₂R¹³, -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)OR¹⁴, -X⁵NR¹²C(O)R¹⁴, -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵, R¹², R¹³ and R¹⁴ are as defined above; or R¹ and R² taken together with the carbon atom to which both R¹ and R² are attached form (C₃₋₈)cycloalkylene or (C₃₋₈)heterocycloalkylene; wherein within said R² any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or

substituted with 1 to 3 radicals independently selected from (C_{1-6})alkyl, (C_{1-6})alkylidene, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$ and $-X^5C(O)R^{13}$, wherein X^5 , R^{12} and R^{13} are as defined above;

R^3 is (C_{1-6})alkyl or $-C(R^6)(R^6)X^6$, wherein R^6 is hydrogen or (C_{1-6})alkyl and X^6 is selected from $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5C(O)R^{13}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$, $-R^{14}$, $-X^5OR^{14}$, $-X^5SR^{14}$, $-X^5S(O)R^{14}$, $-X^5S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^5OC(O)R^{14}$, $-X^5NR^{14}R^{12}$, $-X^5NR^{12}C(O)R^{14}$, $-X^5NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{14}R^{12}$, $-X^5S(O)_2NR^{14}R^{12}$, $-X^5NR^{12}S(O)_2R^{14}$, $-X^5NR^{12}C(O)NR^{14}R^{12}$ and $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ wherein X^5 , R^{12} , R^{13} and R^{14} are as defined above;

R^4 is selected from $-X^8NR^{12}R^{12}$, $-X^8NR^{12}C(O)R^{12}$, $-X^8NR^{12}C(O)OR^{12}$, $-X^8NR^{12}C(O)NR^{12}R^{12}$, $-X^8NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^8OR^{12}$, $-X^8SR^{12}$, $-X^8C(O)OR^{12}$, $-X^8C(O)R^{12}$, $-X^8OC(O)R^{12}$, $-X^8C(O)NR^{12}R^{12}$, $-X^8S(O)_2NR^{12}R^{12}$, $-X^8NR^{12}S(O)_2R^{12}$, $-X^8P(O)(OR^{12})OR^{12}$, $-X^8OP(O)(OR^{12})OR^{12}$, $-X^8C(O)R^{13}$, $-X^8NR^{12}C(O)R^{13}$, $-X^8S(O)R^{13}$, $-X^8S(O)_2R^{13}$, $-R^{14}$, $-X^8OR^{14}$, $-X^8SR^{14}$, $-X^8S(O)R^{14}$, $-X^8S(O)_2R^{14}$, $-X^8C(O)R^{14}$, $-X^8C(O)OR^{14}$, $-X^8OC(O)R^{14}$, $-X^8NR^{14}R^{12}$, $-X^8NR^{12}C(O)R^{14}$, $-X^8NR^{12}C(O)OR^{14}$, $-X^8C(O)NR^{14}R^{12}$, $-X^8S(O)_2NR^{14}R^{12}$, $-X^8NR^{12}S(O)_2R^{14}$, $-X^8NR^{12}C(O)NR^{14}R^{12}$ and $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$ wherein X^8 is (C_{1-6})alkylene and X^5 , R^{12} , R^{13} and R^{14} are as defined above, with the proviso that when X^3 is cyano and X^2 is $-OR^4$, where R^4 is defined as $-R^{14}$, then R^{14} is (C_{3-10} cycloalkyl(C_{1-6})alkyl, hetero(C_{3-10} cycloalkyl(C_{1-3})alkyl, (C_{6-10} aryl(C_{1-6})alkyl, hetero(C_{5-10} aryl(C_{1-6})alkyl, (C_{9-10} bicycloaryl(C_{1-6})alkyl or hetero(C_{8-10} bicycloaryl(C_{1-6})alkyl;

R^{15} is (C_{6-10} aryl, hetero(C_{5-10} aryl, (C_{9-10} bicycloaryl or hetero(C_{8-10} bicycloaryl;

R^{17} is (C_{1-6})alkyl, (C_{3-10} cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10} cycloalkyl(C_{0-3})alkyl, (C_{6-10} aryl(C_{0-6})alkyl, hetero(C_{5-10} aryl(C_{0-6})alkyl, (C_{9-10} bicycloaryl(C_{0-6})alkyl or hetero(C_{8-10} bicycloaryl(C_{0-6})alkyl, with the proviso that when X^3 is cyano, then R^{17} is

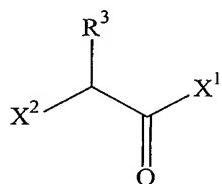
(C₁₋₆)alkyl, (C₃₋₁₀)cycloalkyl(C₁₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₁₋₆)alkyl, (C₆₋₁₀)aryl(C₁₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₁₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₁₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₁₋₆)alkyl;

R¹⁸ is hydrogen, (C₁₋₆)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl, with the proviso that when X³ is cyano, then R¹⁸ is (C₁₋₆)alkyl, (C₃₋₁₀)cycloalkyl(C₁₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₁₋₆)alkyl, (C₆₋₁₀)aryl(C₁₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₁₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₁₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₁₋₆)alkyl; and

wherein within R³, R⁴, R¹⁵, R¹⁷ and R¹⁸ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵C(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹²; and within R³ and R⁴ any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR¹²R¹², -NR¹²C(O)R¹², -NR¹²C(O)OR¹², -NR¹²C(O)NR¹²R¹², -NR¹²C(NR¹²)NR¹²R¹², -OR¹², -SR¹², -C(O)OR¹², -C(O)R¹², -OC(O)R¹², -C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -NR¹²S(O)₂R¹², -P(O)(OR¹²)OR¹², -OP(O)(OR¹²)OR¹², -NR¹²C(O)R¹³, -S(O)R¹³ and -S(O)₂R¹³; wherein X⁵, R¹², R¹³ and R¹⁴ are as described above, with the proviso that when X³ is cyano and X² is -OR⁴, where R⁴ is defined as -R¹⁴, or -NHR¹⁸, then any aromatic ring system present within R¹⁴ or R¹⁸ is not substituted further by halo, (C₃₋₁₀)cycloalkyl, hetero(C₃₋₁₀)cycloalkyl, (C₆₋₁₀)aryl, hetero(C₅₋₁₀)aryl, (C₉₋₁₀)bicycloaryl or hetero(C₈₋₁₀)bicycloaryl; with the proviso that only one bicyclic ring structure is present within R³, R⁴ or R¹⁵; N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof, and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of

~~stereoisomers thereof and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

2. (Original) A compound of Claim 1, which is of the following formula:



in which X² is hydrogen, fluoro, -OH, -OR⁴, -NHR¹⁵;

R³, R⁴, R¹⁵ and X¹ are the same as defined in claim 1.

3. (Currently Amended) A compound of Claim 1 or Claim 2 in which:

X¹ is -NHC(R¹)(R²)X³ or -NHCH(R¹⁹)C(O)R²⁰;

X² is hydrogen, fluoro, -OH, -OR⁴, -NHR¹⁵ or -NR¹⁷R¹⁸ and X⁷ is hydrogen or X² and X⁷ both represent fluoro;

X³ is cyano, -C(R⁷)(R⁸)R¹⁶, -C(R⁶)(OR⁶)₂, -CH₂C(O)R¹⁶, -CH=CHS(O)₂R⁵, -C(O)CF₂C(O)NR⁵R⁵, -C(O)C(O)NR⁵R⁶, -C(O)C(O)OR⁵, -C(O)CH₂OR⁵, -C(O)CH₂N(R⁶)SO₂R⁵ or -C(O)C(O)R⁵; wherein R⁵ is hydrogen, (C₁₋₄)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl; R⁶ is hydrogen, hydroxy or (C₁₋₆)alkyl; or where X³ contains an -NR⁵R⁶ group, R⁵ and R⁶ together with the nitrogen atom to which they are both attached, form hetero(C₃₋₁₀)cycloalkyl, hetero(C₅₋₁₀)aryl or hetero(C₈₋₁₀)bicycloaryl; R⁷ is hydrogen or (C₁₋₄)alkyl and R⁸ is hydroxy or R⁷ and R⁸ together form oxo; R¹⁶ is hydrogen, -X⁴, -CF₃, -CF₂CF₂R⁹ or -N(R⁶)OR⁶; R⁹ is hydrogen, halo, (C₁₋₄)alkyl, (C₅₋₁₀)aryl(C₀₋₆)alkyl or (C₅₋₁₀)heteroaryl(C₀₋₆)alkyl, with the proviso

that when X^3 is cyano, then X^2 is hydrogen, fluoro, -OH, -OR⁴ or -NR¹⁷R¹⁸ and X^7 is hydrogen or X^2 and X^7 both represent fluoro;

X^4 is comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when -X⁴ is other than a heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then X^2 is fluoro, -OH, -OR⁴, -NHR¹⁵ or -NR¹⁷R¹⁸ and X^7 is hydrogen or X^2 and X^7 both represent fluoro;

wherein within R⁵, X³ or X⁴ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵ is a bond or (C₁₋₆)alkylene; R¹² at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; R¹³ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; and R¹⁴ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl;

R¹ is hydrogen or (C₁₋₆)alkyl and R² is selected from a group consisting of hydrogen, cyano, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -R¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵S(O)₂R¹³, -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵, R¹², R¹³ and R¹⁴ are as defined

above; or R¹ and R² taken together with the carbon atom to which both R¹ and R² are attached form (C₃₋₈)cycloalkylene or (C₃₋₈)heterocycloalkylene; wherein within said R² any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵S(O)₂R¹³ and -X⁵C(O)R¹³, wherein X⁵, R¹² and R¹³ are as defined above;

R³ is (C₁₋₆)alkyl or -C(R⁶)(R⁶)X⁶, wherein R⁶ is hydrogen or (C₁₋₆)alkyl and X⁶ is selected from -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵C(O)R¹³, -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵S(O)₂R¹³, -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹² wherein X⁵, R¹², R¹³ and R¹⁴ are as defined above;

R⁴ is selected from -X⁸NR¹²R¹², -X⁸NR¹²C(O)R¹², -X⁸NR¹²C(O)OR¹², -X⁸NR¹²C(O)NR¹²R¹², -X⁸NR¹²C(NR¹²)NR¹²R¹², -X⁸OR¹², -X⁸SR¹², -X⁸C(O)OR¹², -X⁸C(O)R¹², -X⁸OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁸S(O)₂NR¹²R¹², -X⁸NR¹²S(O)₂R¹², -X⁸P(O)(OR¹²)OR¹², -X⁸OP(O)(OR¹²)OR¹², -X⁵C(O)R¹³, -X⁸NR¹²C(O)R¹³, -X⁸S(O)R¹³, -X⁸S(O)₂R¹³, -R¹⁴, -X⁸OR¹⁴, -X⁸SR¹⁴, -X⁸S(O)R¹⁴, -X⁸S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁸OC(O)R¹⁴, -X⁸NR¹⁴R¹², -X⁸NR¹²C(O)R¹⁴, -X⁸NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁸S(O)₂NR¹⁴R¹², -X⁸NR¹²S(O)₂R¹⁴, -X⁸NR¹²C(O)NR¹⁴R¹² and -X⁸NR¹²C(NR¹²)NR¹⁴R¹² wherein X⁸ is (C₁₋₆)alkylene and X⁵, R¹², R¹³ and R¹⁴ are as defined above, with the proviso that when X³ is cyano and X² is -OR⁴, where R⁴ is defined as -R¹⁴, then R¹⁴ is (C₃₋₁₀)cycloalkyl(C₁₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₁₋₃)alkyl, (C₆₋₁₀)aryl(C₁₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₁₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₁₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₁₋₆)alkyl;

R¹⁵ is (C₆₋₁₀)aryl, hetero(C₅₋₁₀)aryl, (C₉₋₁₀)bicycloaryl or hetero(C₈₋₁₀)bicycloaryl;

R^{17} is $(C_{1-6})alkyl$, $(C_{3-10})cycloalkyl(C_{0-6})alkyl$, hetero $(C_{3-10})cycloalkyl(C_{0-3})alkyl$, $(C_{6-10})aryl(C_{0-6})alkyl$, hetero $(C_{5-10})aryl(C_{0-6})alkyl$, $(C_{9-10})bicycloaryl(C_{0-6})alkyl$ or hetero $(C_{8-10})bicycloaryl(C_{0-6})alkyl$, with the proviso that when X^3 is cyano, then R^{17} is $(C_{1-6})alkyl$, $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, hetero $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, $(C_{6-10})aryl(C_{1-6})alkyl$, hetero $(C_{5-10})aryl(C_{1-6})alkyl$, $(C_{9-10})bicycloaryl(C_{1-6})alkyl$ or hetero $(C_{8-10})bicycloaryl(C_{1-6})alkyl$;

R^{18} is hydrogen, $(C_{1-6})alkyl$, $(C_{3-10})cycloalkyl(C_{0-6})alkyl$, hetero $(C_{3-10})cycloalkyl(C_{0-6})alkyl$, $(C_{6-10})aryl(C_{0-6})alkyl$, hetero $(C_{5-10})aryl(C_{0-6})alkyl$, $(C_{9-10})bicycloaryl(C_{0-6})alkyl$ or hetero $(C_{8-10})bicycloaryl(C_{0-6})alkyl$, with the proviso that when X^3 is cyano, then R^{18} is $(C_{1-6})alkyl$, $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, hetero $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, $(C_{6-10})aryl(C_{1-6})alkyl$, hetero $(C_{5-10})aryl(C_{1-6})alkyl$, $(C_{9-10})bicycloaryl(C_{1-6})alkyl$ or hetero $(C_{8-10})bicycloaryl(C_{1-6})alkyl$; and

R^{19} and R^{20} together with the atoms to which R^{19} and R^{20} are attached form $(C_{4-8})heterocycloalkylene$, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from $-NR^{21}-$ or $-O-$, wherein the ring is unsubstituted or substituted with R^2 , wherein R^2 is as defined above, and R^{21} is hydrogen, $-C(O)OR^{12}$, $-C(O)R^{12}$, $-C(O)NR^{12}R^{12}$, $-S(O)_2NR^{12}R^{12}$, $-S(O)R^{13}$ and $-S(O)_2R^{13}$, $-S(O)R^{14}$, $-S(O)_2R^{14}$, $-C(O)R^{14}$, $-C(O)OR^{14}$, $-C(O)NR^{12}R^{12}$ and $-S(O)_2NR^{14}R^{12}$, wherein R^{12} , R^{13} and R^{14} are as defined above; wherein within R^3 , R^4 , R^{15} , R^{17} and R^{18} any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from $(C_{1-6})alkyl$, $(C_{1-6})alkylidene$, cyano, halo, halo-substituted $(C_{1-4})alkyl$, nitro, $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5C(O)R^{13}$ and $-X^5S(O)_2R^{13}$ and/or 1 radical selected from $-R^{14}$, $-X^5OR^{14}$, $-X^5SR^{14}$, $-X^5S(O)R^{14}$, $-X^5S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^5OC(O)R^{14}$, $-X^5NR^{14}R^{12}$, $-X^5NR^{12}C(O)R^{14}$, $-X^5NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{14}R^{12}$, $-X^5S(O)_2NR^{14}R^{12}$, $-X^5NR^{12}S(O)_2R^{14}$, $-X^5NR^{12}C(O)NR^{14}R^{12}$ and $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$; and within R^3 and R^4 any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, $-NR^{12}R^{12}$, $-NR^{12}C(O)R^{12}$, $-NR^{12}C(O)OR^{12}$, $-NR^{12}C(O)NR^{12}R^{12}$, $-NR^{12}C(NR^{12})NR^{12}R^{12}$, $-OR^{12}$, $-SR^{12}$, $-C(O)OR^{12}$, $-C(O)R^{12}$, $-OC(O)R^{12}$, $-C(O)NR^{12}R^{12}$, $-S(O)_2NR^{12}R^{12}$, $-NR^{12}S(O)_2R^{12}$,

-P(O)(OR¹²)OR¹², -OP(O)(OR¹²)OR¹², -NR¹²C(O)R¹³, -S(O)R¹³ and -S(O)₂R¹³; wherein X⁵, R¹², R¹³ and R¹⁴ are as described above, with the proviso that when X³ is cyano and X² is -OR⁴, where R⁴ is defined as -R¹⁴, or -NHR¹⁸, then any aromatic ring system present within R¹⁴ or R¹⁸ is not substituted further by halo, (C₃₋₁₀)cycloalkyl, hetero(C₃₋₁₀)cycloalkyl, (C₆₋₁₀)aryl, hetero(C₅₋₁₀)aryl, (C₉₋₁₀)bicycloaryl or hetero(C₈₋₁₀)bicycloaryl; with the proviso that only one bicyclic ring structure is present within R³, R⁴ or R¹⁵; *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

4. (Currently Amended) The compound of Claim 1 or Claim 2 in which:

X¹ is -NHC(R¹)(R²)X³ or -NHCH(R¹⁹)C(O)R²⁰;

X² is hydrogen, fluoro, -OH, -OR⁴, -NHR¹⁵ or -NR¹⁷R¹⁸ and X⁷ is hydrogen or X² and X⁷ both represent fluoro;

X³ is -C(R⁷)(R⁸)R¹⁶, -C(R⁶)(OR⁶)₂, -CH₂C(O)R¹⁶, -CH=CHS(O)₂R⁵, -C(O)CF₂C(O)NR⁵R⁵, -C(O)C(O)NR⁵R⁶, -C(O)C(O)OR⁵, -C(O)CH₂OR⁵, -C(O)CH₂N(R⁶)SO₂R⁵ or -C(O)C(O)R⁵; wherein R⁵ is hydrogen, (C₁₋₄)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl; R⁶ is hydrogen, hydroxy or (C₁₋₆)alkyl; or where X³ contains an -NR⁵R⁶ group, R⁵ and R⁶ together with the nitrogen atom to which they are both attached, form hetero(C₃₋₁₀)cycloalkyl, hetero(C₅₋₁₀)aryl or hetero(C₈₋₁₀)bicycloaryl; R⁷ is hydrogen or (C₁₋₄)alkyl and R⁸ is hydroxy or R⁷ and R⁸ together form oxo; R¹⁶ is hydrogen, -X⁴, -CF₃, -CF₂CF₂R⁹ or -N(R⁶)OR⁶; R⁹ is hydrogen, halo, (C₁₋₄)alkyl, (C₅₋₁₀)aryl(C₀₋₆)alkyl or (C₅₋₁₀)heteroaryl(C₀₋₆)alkyl;

X⁴ comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when -X⁴ is other than a

heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then X^2 is fluoro, -OH, -OR⁴, -NHR¹⁵ or -NR¹⁷R¹⁸ and X⁷ is hydrogen or X² and X⁷ both represent fluoro;

wherein within R⁵, X³ or X⁴ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵ is a bond or (C₁₋₆)alkylene; R¹² at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; R¹³ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; and R¹⁴ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl;

R¹ is hydrogen or (C₁₋₆)alkyl and R² is selected from a group consisting of hydrogen, cyano, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -R¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵S(O)₂R¹³, -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)OR¹⁴, -X⁵NR¹²C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵, R¹², R¹³ and R¹⁴ are as defined above; or R¹ and R² taken together with the carbon atom to which both R¹ and R² are attached form (C₃₋₈)cycloalkylene or (C₃₋₈)heterocycloalkylene; wherein within said R² any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹²,

-X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹²,
-X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵S(O)₂R¹³ and
-X⁵C(O)R¹³, wherein X⁵, R¹² and R¹³ are as defined above;

R³ is (C₁₋₆)alkyl or -C(R⁶)(R⁶)X⁶, wherein R⁶ is hydrogen or (C₁₋₆)alkyl and X⁶ is selected from
-X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹²,
-X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹²,
-X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹²,
-X⁵OP(O)(OR¹²)OR¹², -X⁵C(O)R¹³, -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵S(O)₂R¹³, -R¹⁴, -X⁵OR¹⁴,
-X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹²,
-X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴,
-X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹² wherein X⁵, R¹², R¹³ and R¹⁴ are as defined
above;

R⁴ is selected from -X⁸NR¹²R¹², -X⁸NR¹²C(O)R¹², -X⁸NR¹²C(O)OR¹², -X⁸NR¹²C(O)NR¹²R¹²,
-X⁸NR¹²C(NR¹²)NR¹²R¹², -X⁸OR¹², -X⁸SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁸OC(O)R¹²,
-X⁵C(O)NR¹²R¹², -X⁸S(O)₂NR¹²R¹², -X⁸NR¹²S(O)₂R¹², -X⁸P(O)(OR¹²)OR¹²,
-X⁸OP(O)(OR¹²)OR¹², -X⁵C(O)R¹³, -X⁸NR¹²C(O)R¹³, -X⁸S(O)R¹³, -X⁸S(O)₂R¹³, -R¹⁴, -X⁸OR¹⁴,
-X⁸SR¹⁴, -X⁸S(O)R¹⁴, -X⁸S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁸OC(O)R¹⁴, -X⁸NR¹⁴R¹²,
-X⁸NR¹²C(O)R¹⁴, -X⁸NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁸S(O)₂NR¹⁴R¹², -X⁸NR¹²S(O)₂R¹⁴,
-X⁸NR¹²C(O)NR¹⁴R¹² and -X⁸NR¹²C(NR¹²)NR¹⁴R¹² wherein X⁸ is (C₁₋₆)alkylene and X⁵, R¹²,
R¹³ and R¹⁴ are as defined above;

R¹⁵ is (C₆₋₁₀)aryl, hetero(C₅₋₁₀)aryl, (C₉₋₁₀)bicycloaryl or hetero(C₈₋₁₀)bicycloaryl;

R¹⁷ is hydrogen, (C₁₋₆)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl,
(C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or
hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl;

R¹⁸ is (C₁₋₆)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl,
(C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or
hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl; and

R¹⁹ and R²⁰ together with the atoms to which R¹⁹ and R²⁰ are attached form
(C₄₋₈)heterocycloalkylene, wherein no more than one of the ring member atoms comprising the

ring is a heteroatom selected from -NR²¹- or -O-, wherein the ring is unsubstituted or substituted with R², wherein R² is as defined above, and R²¹ is hydrogen, -C(O)OR¹², -C(O)R¹², -C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -S(O)R¹³ and -S(O)₂R¹³, -S(O)R¹⁴, -S(O)₂R¹⁴, -C(O)R¹⁴, -C(O)OR¹⁴, -C(O)NR¹²R¹² and -S(O)₂NR¹⁴R¹², wherein R¹², R¹³ and R¹⁴ are as defined above; wherein within R³, R⁴, R¹⁵, R¹⁷ and R¹⁸ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵C(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹²; and within R³ and R⁴ any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR¹²R¹², -NR¹²C(O)R¹², -NR¹²C(O)OR¹², -NR¹²C(O)NR¹²R¹², -NR¹²C(NR¹²)NR¹²R¹², -OR¹², -SR¹², -C(O)OR¹², -C(O)R¹², -OC(O)R¹², -C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -NR¹²S(O)₂R¹², -P(O)(OR¹²)OR¹², -OP(O)(OR¹²)OR¹², -NR¹²C(O)R¹³, -S(O)R¹³ and -S(O)₂R¹³; wherein X⁵, R¹², R¹³ and R¹⁴ are as described above; with the proviso that only one bicyclic ring structure is present within R³, R⁴ or R¹⁵; ~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

5. (Currently Amended) A compound of Claim 1 or Claim 2 in which:

X¹ is -NHC(R¹)(R²)X³ or -NHCH(R¹⁹)C(O)R²⁰;

X² is hydrogen, fluoro, -OH, -OR⁴ or -NR¹⁷R¹⁸ and X⁷ is hydrogen or X² and X⁷ both represent fluoro;

X^3 is cyano;

wherein within X^3 any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C_{1-6})alkyl, (C_{1-6})alkylidene, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$ and $-X^5S(O)_2R^{13}$ and/or 1 radical selected from $-R^{14}$, $-X^5OR^{14}$, $-X^5SR^{14}$, $-X^5S(O)R^{14}$, $-X^5S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^5OC(O)R^{14}$, $-X^5NR^{14}R^{12}$, $-X^5NR^{12}C(O)R^{14}$, $-X^5NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{14}R^{12}$, $-X^5NR^{12}S(O)_2R^{14}$, $-X^5NR^{12}C(O)NR^{14}R^{12}$ and $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$, wherein X^5 is a bond or (C_{1-6})alkylene; R^{12} at each occurrence independently is hydrogen, (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl; R^{13} is (C_{1-6})alkyl or halo-substituted(C_{1-6})alkyl; and R^{14} is (C_{3-10})cycloalkyl(C_{0-6})alkyl, hetero(C_{3-10})cycloalkyl(C_{0-3})alkyl, (C_{6-10})aryl(C_{0-6})alkyl, hetero(C_{5-10})aryl(C_{0-6})alkyl, (C_{9-10})bicycloaryl(C_{0-6})alkyl or hetero(C_{8-10})bicycloaryl(C_{0-6})alkyl;

R^1 is hydrogen or (C_{1-6})alkyl and R^2 is selected from a group consisting of hydrogen, cyano, $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-R^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$, $-R^{14}$, $-X^5OR^{14}$, $-X^5SR^{14}$, $-X^5S(O)R^{14}$, $-X^5S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^5OC(O)R^{14}$, $-X^5NR^{14}R^{12}$, $-X^5NR^{12}C(O)R^{14}$, $-X^5NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{14}R^{12}$, $-X^5NR^{12}S(O)_2R^{14}$, $-X^5NR^{12}C(O)NR^{14}R^{12}$ and $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$, wherein X^5 , R^{12} , R^{13} and R^{14} are as defined above; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8})cycloalkylene or (C_{3-8})heterocycloalkylene; wherein within said R^2 any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C_{1-6})alkyl, (C_{1-6})alkylidene, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$,

$-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$ and $-X^5C(O)R^{13}$, wherein X^5 , R^{12} and R^{13} are as defined above;

R^3 is $(C_{1-6})alkyl$ or $-C(R^6)(R^6)X^6$, wherein R^6 is hydrogen or $(C_{1-6})alkyl$ and X^6 is selected from $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5C(O)R^{13}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$, $-R^{14}$, $-X^5OR^{14}$, $-X^5SR^{14}$, $-X^5S(O)R^{14}$, $-X^5S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^5OC(O)R^{14}$, $-X^5NR^{14}R^{12}$, $-X^5NR^{12}C(O)R^{14}$, $-X^5NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{14}R^{12}$, $-X^5S(O)_2NR^{14}R^{12}$, $-X^5NR^{12}S(O)_2R^{14}$, $-X^5NR^{12}C(O)NR^{14}R^{12}$ and $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ wherein X^5 , R^{12} , R^{13} and R^{14} are as defined above;

R^4 is selected from $-X^8NR^{12}R^{12}$, $-X^8NR^{12}C(O)R^{12}$, $-X^8NR^{12}C(O)OR^{12}$, $-X^8NR^{12}C(O)NR^{12}R^{12}$, $-X^8NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^8OR^{12}$, $-X^8SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^8OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^8S(O)_2NR^{12}R^{12}$, $-X^8NR^{12}S(O)_2R^{12}$, $-X^8P(O)(OR^{12})OR^{12}$, $-X^8OP(O)(OR^{12})OR^{12}$, $-X^5C(O)R^{13}$, $-X^8NR^{12}C(O)R^{13}$, $-X^8S(O)R^{13}$, $-X^8S(O)_2R^{13}$, $-R^{14}$, $-X^8OR^{14}$, $-X^8SR^{14}$, $-X^8S(O)R^{14}$, $-X^8S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^8OC(O)R^{14}$, $-X^8NR^{14}R^{12}$, $-X^8NR^{12}C(O)R^{14}$, $-X^8NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{14}R^{12}$, $-X^8S(O)_2NR^{14}R^{12}$, $-X^8NR^{12}S(O)_2R^{14}$, $-X^8NR^{12}C(O)NR^{14}R^{12}$ and $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$ wherein X^8 is $(C_{1-6})alkylene$ and X^5 , R^{12} , R^{13} and R^{14} are as defined above, with the proviso that when X^3 is cyano and X^2 is $-OR^4$, where R^4 is defined as $-R^{14}$, then R^{14} is $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, hetero $(C_{3-10})cycloalkyl(C_{1-3})alkyl$, $(C_{6-10})aryl(C_{1-6})alkyl$, hetero $(C_{5-10})aryl(C_{1-6})alkyl$, $(C_{9-10})bicycloaryl(C_{1-6})alkyl$ or hetero $(C_{8-10})bicycloaryl(C_{1-6})alkyl$;

R^{15} is $(C_{6-10})aryl$, hetero $(C_{5-10})aryl$, $(C_{9-10})bicycloaryl$ or hetero $(C_{8-10})bicycloaryl$;

R^{17} is $(C_{1-6})alkyl$, $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, hetero $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, $(C_{6-10})aryl(C_{1-6})alkyl$, hetero $(C_{5-10})aryl(C_{1-6})alkyl$, $(C_{9-10})bicycloaryl(C_{1-6})alkyl$ or hetero $(C_{8-10})bicycloaryl(C_{1-6})alkyl$;

R^{18} is $(C_{1-6})alkyl$, $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, hetero $(C_{3-10})cycloalkyl(C_{1-6})alkyl$, $(C_{6-10})aryl(C_{1-6})alkyl$, hetero $(C_{5-10})aryl(C_{1-6})alkyl$, $(C_{9-10})bicycloaryl(C_{1-6})alkyl$ or hetero $(C_{8-10})bicycloaryl(C_{1-6})alkyl$; and

R¹⁹ and R²⁰ together with the atoms to which R¹⁹ and R²⁰ are attached form (C₄₋₈)heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from -NR²¹- or -O-, wherein the ring is unsubstituted or substituted with R², wherein R² is as defined above, and R²¹ is hydrogen, -C(O)OR¹², -C(O)R¹², -C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -S(O)R¹³ and -S(O)₂R¹³, -S(O)R¹⁴, -S(O)₂R¹⁴, -C(O)R¹⁴, -C(O)OR¹⁴, -C(O)NR¹²R¹² and -S(O)₂NR¹⁴R¹², wherein R¹², R¹³ and R¹⁴ are as defined above; wherein within R³, R⁴, R¹⁵, R¹⁷ and R¹⁸ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵C(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹²; and within R³ and R⁴ any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR¹²R¹², -NR¹²C(O)R¹², -NR¹²C(O)OR¹², -NR¹²C(O)NR¹²R¹², -NR¹²C(NR¹²)NR¹²R¹², -OR¹², -SR¹², -C(O)OR¹², -C(O)R¹², -OC(O)R¹², -C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -NR¹²S(O)₂R¹², -P(O)(OR¹²)OR¹², -OP(O)(OR¹²)OR¹², -NR¹²C(O)R¹³, -S(O)R¹³ and -S(O)₂R¹³; wherein X⁵, R¹², R¹³ and R¹⁴ are as described above, with the proviso that when X² is -OR⁴, where R⁴ is defined as -R¹⁴, or -NHR¹⁸, then any aromatic ring system present within R¹⁴ or R¹⁸ is not substituted further by halo, (C₃₋₁₀)cycloalkyl, hetero(C₃₋₁₀)cycloalkyl, (C₆₋₁₀)aryl, hetero(C₅₋₁₀)aryl, (C₉₋₁₀)bicycloaryl or hetero(C₈₋₁₀)bicycloaryl; with the proviso that only one bicyclic ring structure is present within R³, R⁴ or R¹⁵; ~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

6. (Currently Amended) A compound of Claim 1 or 2 in which:

X¹ is -NHC(R¹)(R²)X³ or -NHCH(R¹⁹)C(O)R²⁰;

X² is -OH, -OC(O)NR¹²R¹² or -OC(O)R¹⁴, wherein R¹² and R¹⁴ are as defined below;

X³ is cyano, -C(R⁷)(R⁸)R¹⁶, -C(R⁶)(OR⁶)₂, -CH₂C(O)R¹⁶, -CH=CHS(O)₂R⁵, -C(O)CF₂C(O)NR⁵R⁵, -C(O)C(O)NR⁵R⁶, -C(O)C(O)OR⁵, -C(O)CH₂OR⁵, -C(O)CH₂N(R⁶)SO₂R⁵ or -C(O)C(O)R⁵; wherein R⁵ is hydrogen, (C₁₋₄)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl; R⁶ is hydrogen, hydroxy or (C₁₋₆)alkyl; or where X³ contains an -NR⁵R⁶ group, R⁵ and R⁶ together with the nitrogen atom to which they are both attached, form hetero(C₃₋₁₀)cycloalkyl, hetero(C₅₋₁₀)aryl or hetero(C₈₋₁₀)bicycloaryl; R⁷ is hydrogen or (C₁₋₄)alkyl and R⁸ is hydroxy or R⁷ and R⁸ together form oxo; R¹⁶ is hydrogen, -X⁴, -CF₃, -CF₂CF₂R⁹ or -N(R⁶)OR⁶; R⁹ is hydrogen, halo, (C₁₋₄)alkyl, (C₅₋₁₀)aryl(C₀₋₆)alkyl or (C₅₋₁₀)heteroaryl(C₀₋₆)alkyl;

X⁴ is comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof;

wherein within R⁵, X³ or X⁴ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵ is a bond or (C₁₋₆)alkylene; R¹² at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; R¹³ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; and R¹⁴ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl;

R^1 is hydrogen or (C_{1-6}) alkyl and R^2 is selected from a group consisting of hydrogen, cyano, $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-R^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$, $-R^{14}$, $-X^5OR^{14}$, $-X^5SR^{14}$, $-X^5S(O)R^{14}$, $-X^5S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^5OC(O)R^{14}$, $-X^5NR^{14}R^{12}$, $-X^5NR^{12}C(O)R^{14}$, $-X^5NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{14}R^{12}$, $-X^5NR^{12}S(O)_2R^{14}$, $-X^5NR^{12}C(O)NR^{14}R^{12}$ and $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$, wherein X^5 , R^{12} , R^{13} and R^{14} are as defined above; or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form (C_{3-8}) cycloalkylene or (C_{3-8}) heterocycloalkylene; wherein within said R^2 any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C_{1-6}) alkyl, (C_{1-6}) alkylidene, cyano, halo, halo-substituted(C_{1-4})alkyl, nitro, $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$ and $-X^5C(O)R^{13}$, wherein X^5 , R^{12} and R^{13} are as defined above;

R^3 is (C_{1-6}) alkyl or $-C(R^6)(R^6)X^6$, wherein R^6 is hydrogen or (C_{1-6}) alkyl and X^6 is selected from $-X^5NR^{12}R^{12}$, $-X^5NR^{12}C(O)R^{12}$, $-X^5NR^{12}C(O)OR^{12}$, $-X^5NR^{12}C(O)NR^{12}R^{12}$, $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$, $-X^5OR^{12}$, $-X^5SR^{12}$, $-X^5C(O)OR^{12}$, $-X^5C(O)R^{12}$, $-X^5OC(O)R^{12}$, $-X^5C(O)NR^{12}R^{12}$, $-X^5S(O)_2NR^{12}R^{12}$, $-X^5NR^{12}S(O)_2R^{12}$, $-X^5P(O)(OR^{12})OR^{12}$, $-X^5OP(O)(OR^{12})OR^{12}$, $-X^5C(O)R^{13}$, $-X^5NR^{12}C(O)R^{13}$, $-X^5S(O)R^{13}$, $-X^5S(O)_2R^{13}$, $-R^{14}$, $-X^5OR^{14}$, $-X^5SR^{14}$, $-X^5S(O)R^{14}$, $-X^5S(O)_2R^{14}$, $-X^5C(O)R^{14}$, $-X^5C(O)OR^{14}$, $-X^5OC(O)R^{14}$, $-X^5NR^{14}R^{12}$, $-X^5NR^{12}C(O)R^{14}$, $-X^5NR^{12}C(O)OR^{14}$, $-X^5C(O)NR^{14}R^{12}$, $-X^5S(O)_2NR^{14}R^{12}$, $-X^5NR^{12}S(O)_2R^{14}$, $-X^5NR^{12}C(O)NR^{14}R^{12}$ and $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ wherein X^5 , R^{12} , R^{13} and R^{14} are as defined above; and

R^{19} and R^{20} together with the atoms to which R^{19} and R^{20} are attached form (C_{4-8}) heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from $-NR^{21}-$ or $-O-$, wherein and the ring is unsubstituted or substituted with R^2 , wherein R^2 is as defined above, and R^{21} is hydrogen, $-C(O)OR^{12}$, $-C(O)R^{12}$,

-C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -S(O)R¹³ and -S(O)₂R¹³, -S(O)R¹⁴, -S(O)₂R¹⁴, -C(O)R¹⁴, -C(O)OR¹⁴, -C(O)NR¹²R¹² and -S(O)₂NR¹⁴R¹², wherein R¹², R¹³ and R¹⁴ are as defined above; wherein within R³, R⁴, R¹⁵, R¹⁷ and R¹⁸ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵C(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹⁴R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹²; and within R³ and R⁴ any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR¹²R¹², -NR¹²C(O)R¹², -NR¹²C(O)OR¹², -NR¹²C(O)NR¹²R¹², -NR¹²C(NR¹²)NR¹²R¹², -OR¹², -SR¹², -C(O)OR¹², -C(O)R¹², -OC(O)R¹², -C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -NR¹²S(O)₂R¹², -P(O)(OR¹²)OR¹², -OP(O)(OR¹²)OR¹², -NR¹²C(O)R¹³, -S(O)R¹³ and -S(O)₂R¹³; wherein X⁵, R¹², R¹³ and R¹⁴ are as described above; with the proviso that only one bicyclic ring structure is present within R³, R⁴ or R¹⁵; ~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof and the ~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof~~.

7. (Currently Amended) The compound of Claim 1 or Claim 2 in which:

X¹ is -NHC(R¹)(R²)C(O)C(O)NR⁵R⁶, wherein R⁵ is hydrogen, (C₁₋₄)alkyl, (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl and R⁶ is hydrogen, hydroxy or (C₁₋₆)alkyl or R⁵ and R⁶ together with the nitrogen atom to which they are both attached form hetero(C₃₋₁₀)cycloalkyl, hetero(C₅₋₁₀)aryl or hetero(C₈₋₁₀)bicycloaryl;

X² is hydrogen;

wherein within X¹ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³ and -X⁵S(O)₂R¹³ and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴, -X⁵SR¹⁴, -X⁵S(O)R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)OR¹⁴, -X⁵OC(O)R¹⁴, -X⁵NR¹⁴R¹², -X⁵NR¹²C(O)R¹⁴, -X⁵NR¹²C(O)OR¹⁴, -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹⁴R¹², -X⁵NR¹²S(O)₂R¹⁴, -X⁵NR¹²C(O)NR¹⁴R¹² and -X⁵NR¹²C(NR¹²)NR¹⁴R¹², wherein X⁵ is a bond or (C₁₋₆)alkylene; R¹² at each occurrence independently is hydrogen, (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; R¹³ is (C₁₋₆)alkyl or halo-substituted(C₁₋₆)alkyl; and R¹⁴ is (C₃₋₁₀)cycloalkyl(C₀₋₆)alkyl, hetero(C₃₋₁₀)cycloalkyl(C₀₋₃)alkyl, (C₆₋₁₀)aryl(C₀₋₆)alkyl, hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl, (C₉₋₁₀)bicycloaryl(C₀₋₆)alkyl or hetero(C₈₋₁₀)bicycloaryl(C₀₋₆)alkyl;

R¹ is hydrogen and R² is (C₁₋₆)alkyl; and

R³ is -CH₂X⁶, wherein X⁶ is -X⁵NR¹²S(O)₂R¹² or -X⁵S(O)₂R¹⁴ wherein X⁵, R¹² and R¹⁴ are as defined above;

wherein within R³ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, (C₁₋₆)alkylidene, cyano, halo, halo-substituted(C₁₋₄)alkyl, nitro, -X⁵NR¹²R¹², -X⁵NR¹²C(O)R¹², -X⁵NR¹²C(O)OR¹², -X⁵NR¹²C(O)NR¹²R¹², -X⁵NR¹²C(NR¹²)NR¹²R¹², -X⁵OR¹², -X⁵SR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹², -X⁵OC(O)R¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂NR¹²R¹², -X⁵NR¹²S(O)₂R¹², -X⁵P(O)(OR¹²)OR¹², -X⁵OP(O)(OR¹²)OR¹², -X⁵NR¹²C(O)R¹³, -X⁵S(O)R¹³, -X⁵C(O)R¹³ and -X⁵S(O)₂R¹³ and within R³ any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro, -NR¹²R¹², -NR¹²C(O)R¹², -NR¹²C(O)OR¹², -NR¹²C(O)NR¹²R¹², -NR¹²C(NR¹²)NR¹²R¹², -OR¹², -SR¹², -C(O)OR¹², -C(O)R¹², -OC(O)R¹², -C(O)NR¹²R¹², -S(O)₂NR¹²R¹², -NR¹²S(O)₂R¹², -P(O)(OR¹²)OR¹², -OP(O)(OR¹²)OR¹², -NR¹²C(O)R¹³, -S(O)R¹³ and -S(O)₂R¹³; wherein X⁵, R¹², R¹³ and R¹⁴ are as described above; with the proviso that only one bicyclic ring structure is present within R³;

~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof, and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

8. (Currently Amended) The compound of Claim 3 in which:

X^1 is $-\text{NHC}(R^1)(R^2)X^3$ or $-\text{NHCH}(R^{19})\text{C(O)}R^{20}$, wherein R^1 is hydrogen or $(C_{1-6})\text{alkyl}$ and R^2 is hydrogen, $(C_{1-6})\text{alkyl}$, $-X^5\text{OR}^{12}$, $-X^5\text{S(O)}R^{13}$, $-X^5\text{OR}^{14}$, $(C_{6-10})\text{aryl}(C_{0-6})\text{alkyl}$ or hetero $(C_{5-10})\text{aryl}(C_{0-6})\text{alkyl}$ or R^1 and R^2 taken together with the carbon atom to which both R^1 and R^2 are attached form $(C_{3-6})\text{cycloalkylene}$ or $(C_{3-6})\text{heterocycloalkylene}$, wherein within said R^2 any heteroaryl, aryl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with $(C_{1-6})\text{alkyl}$ or hydroxy, wherein X^3 is cyano, $-\text{C(O)}R^{16}$, $-\text{C(R}^6)(\text{OR}^6)_2$, $-\text{CH=CHS(O)}_2\text{R}^5$, $-\text{CH}_2\text{C(O)}R^{16}$, $-\text{C(O)CF}_2\text{C(O)NR}^5\text{R}^5$, $-\text{C(O)C(O)NR}^5\text{R}^6$, $-\text{C(O)C(O)OR}^5$, $-\text{C(O)CH}_2\text{OR}^5$, $-\text{C(O)CH}_2\text{N(R}^6)\text{SO}_2\text{R}^5$ or $-\text{C(O)C(O)R}^5$ and R^{19} and R^{20} together with the atoms to which R^{19} and R^{20} are attached form $(C_{4-8})\text{heterocycloalkylene}$, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from $-\text{NR}^{21}-$ or $-\text{O}-$, wherein the ring is unsubstituted or substituted with $(C_{1-6})\text{alkyl}$ or $-X^5\text{C(O)OR}^{12}$ and R^{21} is hydrogen, $(C_{1-6})\text{alkyl}$, $-X^5\text{C(O)R}^{12}$, $-X^5\text{C(O)OR}^{12}$, $-R^{14}$, $-X^5\text{C(O)R}^{14}$ or $-\text{C(O)OR}^{14}$;

X^2 is $-\text{OH}$ or $-\text{OC(O)NR}^{12}\text{R}^{12}$, wherein each R^{12} independently represent hydrogen or $(C_{1-6})\text{alkyl}$, wherein said alkyl is unsubstituted or substituted with hydroxy or methoxy, or X^2 is $-\text{OC(O)NHR}^{14}$, wherein R^{14} is $(C_{3-10})\text{cycloalkyl}(C_{0-6})\text{alkyl}$ or hetero $(C_{3-10})\text{cycloalkyl}(C_{1-3})\text{alkyl}$, or X^2 is $-\text{OC(O)R}^{14}$, wherein R^{14} is $-\text{NR}^{22}\text{R}^{23}$ and R^{22} and R^{23} together with the nitrogen atom to which both R^{22} and R^{23} attached form a hetero $(C_{4-6})\text{cycloalkyl}$ ring, which ring may be unsubstituted or substituted with hydroxy; and

R^3 is $-\text{CH}_2\text{X}^6$; wherein X^6 is selected from $-X^5\text{SR}^{12}$, $-X^5\text{C(O)NR}^{12}\text{R}^{12}$, $-X^5\text{S(O)}_2\text{R}^{13}$, $-X^5\text{C(O)R}^{13}$, $-X^5\text{OR}^{12}$, $-X^5\text{SR}^{14}$, $-X^5\text{R}^{14}$, $-X^5\text{S(O)}_2\text{R}^{14}$, $-X^5\text{C(O)R}^{14}$, $-X^5\text{C(O)NR}^{14}\text{R}^{12}$; ~~N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and~~

~~mixtures of stereoisomers thereof and the N-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.~~

9. (Currently Amended) The compound of Claim 8 in which:

X³ is cyano, -C(O)X⁴, -C(O)H, -C(O)N(CH₃)OCH₃, -CH(OCH₃)₂, -C(O)CF₃, -C(O)CF₂CF₃, -CH₂C(O)R¹⁶, (E)-2-benzenesulfonyl-vinyl, 2-dimethylcarbamoyl-2,2-difluoro-acetyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1*λ*⁶-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl, 1-benzoyl-piperidin-4-ylaminooxalyl, 1-benzylcarbamoyl-methanoyl, 1-benzyloxy(oxalyl), 2-benzyloxy-acetyl, 2-benzenesulfonylamino-ethanoyl, 2-oxo-2-phenyl-ethanoyl, 3*H*-oxazole-2-carbonyl, 5-trifluoromethyl-oxazole-2-carbonyl, 3-trifluoromethyl-[1,2,4]oxadiazole-5-carbonyl, 2,2,3,3,3-pentafluoro-propionyl, hydroxyaminooxalyl, oxalyl, 2-(1,3-dihydro-isoindol-2-yl)-2-oxo-acetyl, benzothiazol-2-ylaminooxalyl, 2-oxo-ethyl, 2-oxazol-2-yl-2-oxo-ethyl or 2-benzooxazol-2-yl-2-oxo-ethyl;

X² is selected from -OH, dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, isopropylamino and cyclohexylamino; 4-*tert*-butoxycarbonylpiperazin-1-ylcarbonyloxy, *N*-benzyl-carbamoyloxy, pyrrolidin-1-yl-carbonyloxy, *N,N*-dimethyl-carbamoyloxy, piperidin-1-yl-carbonyloxy, 4-methanesulfonyl-piperazin-1-yl-carbonyloxy, 4-ethoxycarbonylpiperazin-1-ylcarbonyloxy, *N*-cyclohexyl-carbamoyloxy, *N*-phenyl-carbamoyloxy, *N*-(5,6,7,8-tetrahydro-naphthalen-1-yl)-carbamoyloxy, *N*-butyl-*N*-methyl-carbamoyloxy, *N*-pyridin-3-yl-carbamoyloxy, *N*-isopropyl-carbamoyloxy, *N*-pyridin-4-yl-carbamoyloxy, *N*-cyanomethyl-*N*-methyl-carbamoyloxy, *N,N*-bis-(2-methoxy-ethyl)-carbamoyloxy, *N*-phenethyl-carbamoyloxy, piperazine- carbonyloxy, *N*-naphthalen-2-yl-carbamoyloxy, 4-benzyl-piperazine-1-carbamoyloxy, 4-(1-furan-2-yl-carbonyl)-piperazine-1-carbamoyloxy, thiomorpholin-4-yl- carbonyloxy, 1,1-dioxo-1*λ*⁶-thiomorpholin-4-yl)- carbonyloxy, bis-(2-methoxy-ethyl)-carbamoyloxy, morpholin-4-ylcarbonyloxy,

2-methoxyethylcarbamoyloxy, diethylcarbamoyloxy, pyrrolidin-1-ylcarbonyloxy,
2-hydroxyethylcarbamoyloxy, tetrahydro-furan-2-ylmethylcarbamoyloxy,
cyclopropylcarbamoyloxy, *tert*-butylcarbamoyloxy, 3-hydroxy-pyrrolidin-1-yl-carbonyloxy and
carbamoyloxy; and

R³ is thiophene-2-sulfonyl-methyl, 3-chloro-2-fluoro-phenyl-methane-sulfonyl-methyl, benzene-sulfonyl-methyl, phenyl-methane-sulfonyl-methyl, 2-(1,1-difluoro-methoxy)-phenyl-methane-sulfonyl-methyl, 2-benzene-sulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl, 2-(pyridine-4-sulfonyl)-ethyl, 2-phenyl-methanesulfonyl-ethyl, oxy-pyridin-2-yl-methane-sulfonyl-methyl, prop-2-ene-1-sulfonyl-methyl, 4-methoxy-phenyl-methane-sulfonyl-methyl, *p*-tolyl-methane-sulfonyl-methyl, 4-chloro-phenyl-methane-sulfonyl-methyl, *o*-tolyl-methane-sulfonyl-methyl, 3,5-dimethyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 2-bromo-phenyl-methane-sulfonyl-methyl, pyridin-2-yl-methane-sulfonyl-methyl, pyridin-3-yl-methane-sulfonyl-methyl, pyridin-4-yl-methane-sulfonyl-methyl, naphthalen-2-yl-methane-sulfonyl-methyl, 3-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 4-fluoro-2-trifluoromethoxy-phenyl-methane-sulfonylmethyl, 2-fluoro-6-trifluoromethyl-phenylmethanesulfonylmethyl, 3-chloro-phenylmethanesulfonylmethyl, 2-fluoro-phenylmethanesulfonylmethyl, 2-trifluoro-phenylmethanesulfonylmethyl, 2-cyano-phenylmethanesulfonylmethyl, 4-*tert*-butyl-phenylmethanesulfonylmethyl, 2-fluoro-3-methyl-phenyl-methane-sulfonyl-methyl, 3-fluoro-phenylmethanesulfonylmethyl, 4-fluoro-phenylmethane-sulfonylmethyl, 2-chloro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenylmethane-sulfonylmethyl, 2,6-difluoro-phenylmethanesulfonylmethyl, 2,5-dichloro-phenyl-methane-sulfonylmethyl, 3,4-dichloro-phenylmethanesulfonylmethyl, 2-(1,1-difluoro-methoxy)-phenyl-methanesulfonylmethyl, 2-cyano-phenyl-methane-sulfonyl-methyl, 3-cyano-phenylmethanesulfonylmethyl, 2-trifluoro-methoxy-phenyl-methane-sulfonylmethyl, 2,3-difluoro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenyl-methanesulfonylmethyl, biphenyl-2-ylmethanesulfonylmethyl, cyclohexylmethyl, 3-fluoro-phenyl-methanesulfonylmethyl, 3,4-difluoro-phenyl-methanesulfonylmethyl, 2,4-difluoro-phenylmethanesulfonylmethyl, 2,4,6-trifluoro-phenylmethanesulfonylmethyl,

2,4,5-trifluoro-phenylmethanesulfonylmethyl, 2,3,4-trifluoro-phenylmethanesulfonylmethyl,
2,3,5-trifluoro-phenyl-methane-sulfonylmethyl, 2,5,6-trifluoro-phenylmethanesulfonylmethyl,
2-chloro-5-trifluoro-methylphenylmethanesulfonylmethyl, 2-methyl-propane-1-sulfonyl,
2-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-4-trifluoro-
methylphenylmethanesulfonylmethyl, 2-fluoro-5-trifluoro-methyl-phenyl-methane-sulfonyl-
methyl, 4-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-methoxy-phenyl-
methanesulfonylmethyl, 3,5-bis-trifluoromethyl-phenylmethanesulfonylmethyl,
4-difluoromethoxy-phenylmethanesulfonylmethyl, 2-difluoro-methoxy-phenyl-
methanesulfonylmethyl, 3-difluoromethoxy-phenylmethanesulfonylmethyl, 2,6-dichloro-
phenylmethanesulfonylmethyl, biphenyl-4-ylmethanesulfonylmethyl,
3,5-dimethyl-isoxazol-4-ylmethanesulfonylmethyl, 5-chloro-thien-2-yl-methane-sulfonylmethyl,
2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl,
2-[2-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[3-(1,1-difluoro-
methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl,
2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(2-trifluoro-methoxy-benzene-sulfonyl)-ethyl,
(cyanomethyl-methyl-carbamoyl)-methyl, biphenyl-3-ylmethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl,
2-benzenesulfonyl-ethyl, isobutylsulfanyl methyl, 2-phenylsulfanyl-ethyl,
cyclohexylmethanesulfonylmethyl, 2-cyclohexyl-ethanesulfonyl, benzyl, naphthalen-2-yl,
benzylsulfanyl methyl, 2-trifluoromethyl-benzylsulfanyl methyl, phenylsulfanyl-ethyl,
cyclopropyl-methanesulfonylmethyl, 5-bromo-thien-2-ylmethyl, 3-phenyl-propyl, 2,2-difluoro-
3-phenyl-propyl, 3,4,5-trimethoxy-phenylmethanesulfonylmethyl, 2,2-difluoro-3-thien-2-yl-
propyl, cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl,
1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl,
1-benzylcyclopropylmethyl, -X⁵S(O)₂R¹³ and -X⁵S(O)₂R¹⁴, wherein R¹³ is alkyl and R¹⁴ is
phenyl which phenyl is unsubstituted or substituted; *N*-oxide derivatives, prodrug derivatives,
protected derivatives, individual isomers and mixtures of isomers thereof; and the
pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs,
compounds thereof having protected reactive groups, stereoisomers and mixtures of
stereoisomers thereof, and the *N*-oxide derivatives, prodrug derivatives, protected derivatives,
individual isomers and mixtures of isomers thereof.

10. (Currently Amended) A compound of Claim 9 in which:

X³ is 1*H*-benzimidazol-2-ylcarbonyl, pyrimidin-2-ylcarbonyl, benzooxazol-2-ylcarbonyl, benzothiazol-2-ylcarbonyl, pyridazin-3-ylcarbonyl, 3-phenyl-[1,2,4]oxadiazol-5-ylcarbonyl or 3-ethyl-[1,2,4]oxadiazol-5-ylcarbonyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylamino oxalyl, tetrahydro-pyran-4-ylamino oxalyl, 2-morpholin-4-yl-ethylamino oxalyl, cyclopentyl-ethyl-amino oxalyl, pyridin-3-ylamino oxalyl, phenylamino oxalyl or 1-benzoyl-piperidin-4-ylamino oxalyl;

X² is selected from -OH, dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, isopropylamino and cyclohexylamino;

R³ is cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl, -X⁵S(O)₂R¹³ or -X⁵S(O)₂R¹⁴, wherein R¹³ is alkyl and R¹⁴ is phenyl which phenyl is unsubstituted or substituted; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

11. (Currently Amended) The compound of Claim 3 in which:

X¹ is -NHC(R¹)(R²)X³ or -NHCH(R¹⁹)C(O)R²⁰, wherein R¹ is hydrogen or (C₁₋₆)alkyl and R² is hydrogen, (C₁₋₆)alkyl, -X⁵OR¹², -X⁵S(O)R¹³, -X⁵OR¹⁴, (C₆₋₁₀)aryl(C₀₋₆)alkyl or hetero(C₅₋₁₀)aryl(C₀₋₆)alkyl or R¹ and R² taken together with the carbon atom to which both R¹ and R² are attached form (C₃₋₆)cycloalkylene or (C₃₋₆)heterocycloalkylene, wherein within said R² any heteroaryl, aryl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with (C₁₋₆)alkyl or hydroxy, wherein X³ is cyano, -C(O)R¹⁶, -C(R⁶)(OR⁶)₂, -CH=CHS(O)₂R⁵, -CH₂C(O)R¹⁶, -C(O)CF₂C(O)NR⁵R⁵, -C(O)C(O)NR⁵R⁶, -C(O)C(O)OR⁵, -C(O)CH₂OR⁵, -C(O)CH₂N(R⁶)SO₂R⁵ or -C(O)C(O)R⁵ and R¹⁹ and R²⁰ together with the atoms to which R¹⁹

and R²⁰ are attached from (C₄₋₈)heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from -NR²¹- or -O-, wherein the ring is unsubstituted or substituted with (C₁₋₆)alkyl or -X⁵C(O)OR¹² and R²¹ is hydrogen, (C₁₋₆)alkyl, -X⁵C(O)R¹², -X⁵C(O)OR¹², -R¹⁴, -X⁵C(O)R¹⁴ or -C(O)OR¹⁴;

X² is -NHR¹⁵, wherein R¹⁵ is (C₆₋₁₀)aryl, hetero(C₅₋₁₀)aryl, (C₉₋₁₀)bicycloaryl or hetero(C₈₋₁₀)bicycloaryl, or -NR¹⁷R¹⁸, wherein R¹⁷ is hetero(C₃₋₁₀)cycloalkyl and R¹⁸ is hydrogen or R¹⁷ and R¹⁸ independently are (C₆₋₁₀)aryl(C₁₋₆)alkyl or hetero(C₅₋₁₀)aryl(C₁₋₆)alkyl, wherein within R¹⁵, R¹⁷ and R¹⁸ any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C₁₋₆)alkyl, cyano, halo, nitro, halo-substituted(C₁₋₄)alkyl, -X⁵OR¹², -X⁵C(O)OR¹², -X⁵C(O)R¹³, -X⁵C(O)NR¹²R¹², -X⁵NR¹²S(O)₂R¹² and/or 1 radical selected from -R¹⁴, -X⁵OR¹⁴ and -X⁵C(O)NR¹⁴R¹²; and R³ is -CH₂X⁶; wherein X⁶ is selected from -X⁵SR¹², -X⁵C(O)NR¹²R¹², -X⁵S(O)₂R¹³, -X⁵C(O)R¹³, -X⁵OR¹², -X⁵SR¹⁴, -X⁵R¹⁴, -X⁵S(O)₂R¹⁴, -X⁵C(O)R¹⁴, -X⁵C(O)NR¹⁴R¹²; *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the N-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof.

12. (Currently Amended) The compound of Claim 11 in which:

X³ is cyano, -C(O)X⁴, -C(O)H, -C(O)N(CH₃)OCH₃, -CH(OCH₃)₂, -C(O)CF₃, -C(O)CF₂CF₃, -CH₂C(O)R¹⁶, (E)-2-benzenesulfonyl-vinyl, 2-dimethylcarbamoyl-2,2-difluoro-acetyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1□⁶-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminoxxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl, 1-benzoyl-piperidin-4-ylaminooxalyl, 1-benzylcarbamoyl-methanoyl, 1-benzyloxy(oxalyl), 2-benzyloxy-acetyl, 2-benzenesulfonylamino-ethanoyl, 2-oxo-2-phenyl-ethanoyl, 3*H*-oxazole-2-carbonyl, 5-trifluoromethyl-oxazole-2-carbonyl, 3-trifluoromethyl-[1,2,4]oxadiazole-5-carbonyl, 2,2,3,3,3-pentafluoro-propionyl, hydroxyaminooxalyl, oxalyl, 2-(1,3-dihydro-isoindol-2-yl)-2-

oxo-acetyl, benzothiazol-2-ylamino oxalyl, 2-oxo-ethyl, 2-oxazol-2-yl-2-oxo-ethyl or 2-benzoaxazol-2-yl-2-oxo-ethyl;

X^2 is selected from 5-nitrothiazol-2-ylamino, 2-nitrophenylamino, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, 1-methyl-piperidin-4-ylamino, isopropylamino, di(thien-2-ylmethyl)amino or di(benzyl)amino; and

R^3 is thiophene-2-sulfonyl-methyl, 3-chloro-2-fluoro-phenyl-methane-sulfonyl-methyl, benzene-sulfonyl-methyl, phenyl-methane-sulfonyl-methyl, 2-(1,1-difluoro-methoxy)-phenyl-methane-sulfonyl-methyl, 2-benzene-sulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl, 2-(pyridine-4-sulfonyl)-ethyl, 2-phenyl-methanesulfonyl-ethyl, oxy-pyridin-2-yl-methane-sulfonyl-methyl, prop-2-ene-1-sulfonyl-methyl, 4-methoxy-phenyl-methane-sulfonyl-methyl, *p*-tolyl-methane-sulfonyl-methyl, 4-chloro-phenyl-methane-sulfonyl-methyl, *o*-tolyl-methane-sulfonyl-methyl, 3,5-dimethyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl,

2-bromo-phenyl-methane-sulfonyl-methyl, pyridin-2-yl-methane-sulfonyl-methyl, pyridin-3-yl-methane-sulfonyl-methyl, pyridin-4-yl-methane-sulfonyl-methyl, naphthalen-2-yl-methane-sulfonyl-methyl, 3-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl,

4-fluoro-2-trifluoromethoxy-phenyl-methane-sulfonylmethyl,

2-fluoro-6-trifluoromethyl-phenylmethanesulfonylmethyl,

3-chloro-phenylmethanesulfonylmethyl, 2-fluoro-phenylmethanesulfonylmethyl,

2-trifluoro-phenylmethanesulfonylmethyl, 2-cyano-phenylmethanesulfonylmethyl,

4-*tert*-butyl-phenylmethanesulfonylmethyl, 2-fluoro-3-methyl-phenyl-methane-sulfonyl-methyl,

3-fluoro-phenylmethanesulfonylmethyl, 4-fluoro-phenylmethane-sulfonylmethyl,

2-chloro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenylmethane-sulfonylmethyl,

2,6-difluoro-phenylmethanesulfonylmethyl, 2,5-dichloro-phenyl-methane-sulfonylmethyl,

3,4-dichloro-phenylmethanesulfonylmethyl, 2-(1,1-difluoro-methoxy)-phenyl-methanesulfonylmethyl, 2-cyano-phenyl-methane-sulfonyl-methyl,

3-cyano-phenylmethanesulfonylmethyl, 2-trifluoro-methoxy-phenyl-methane-sulfonylmethyl,

2,3-difluoro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenyl-methanesulfonylmethyl,

biphenyl-2-ylmethanesulfonylmethyl, cyclohexylmethyl, 3-fluoro-phenyl-

methanesulfonylmethyl, 3,4-difluoro-phenyl-methanesulfonylmethyl,

2,4-difluoro-phenylmethanesulfonylmethyl, 2,4,6-trifluoro-phenylmethanesulfonylmethyl,
2,4,5-trifluoro-phenylmethanesulfonylmethyl, 2,3,4-trifluoro-phenylmethanesulfonylmethyl,
2,3,5-trifluoro-phenyl-methane-sulfonylmethyl, 2,5,6-trifluoro-phenylmethanesulfonylmethyl,
2-chloro-5-trifluoro-methylphenylmethanesulfonylmethyl, 2-methyl-propane-1-sulfonyl,
2-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-4-trifluoro-
methylphenylmethanesulfonylmethyl, 2-fluoro-5-trifluoro-methyl-phenyl-methane-sulfonyl-
methyl, 4-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-methoxy-phenyl-
methanesulfonylmethyl, 3,5-bis-trifluoromethyl-phenylmethanesulfonylmethyl,
4-difluoromethoxy-phenylmethanesulfonylmethyl, 2-difluoro-methoxy-phenyl-
methanesulfonylmethyl, 3-difluoromethoxy-phenylmethanesulfonylmethyl, 2,6-dichloro-
phenylmethanesulfonylmethyl, biphenyl-4-ylmethanesulfonylmethyl,
3,5-dimethyl-isoxazol-4-ylmethanesulfonylmethyl, 5-chloro-thien-2-yl-methane-sulfonylmethyl,
2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl,
2-[2-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[3-(1,1-difluoro-
methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl,
2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(2-trifluoro-methoxy-benzene-sulfonyl)-ethyl,
(cyanomethyl-methyl-carbamoyl)-methyl, biphenyl-3-ylmethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl,
2-benzenesulfonyl-ethyl, isobutylsulfanyl-methyl, 2-phenylsulfanyl-ethyl,
cyclohexylmethanesulfonylmethyl, 2-cyclohexyl-ethanesulfonyl, benzyl, naphthalen-2-yl,
benzylsulfanyl-methyl, 2-trifluoromethyl-benzylsulfanyl-methyl, phenylsulfanyl-ethyl,
cyclopropyl-methanesulfonylmethyl, 5-bromo-thien-2-ylmethyl, 3-phenyl-propyl, 2,2-difluoro-
3-phenyl-propyl, 3,4,5-trimethoxy-phenylmethanesulfonylmethyl, 2,2-difluoro-3-thien-2-yl-
propyl, cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl,
1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl,
1-benzylcyclopropylmethyl, -X⁵S(O)₂R¹³ and -X⁵S(O)₂R¹⁴, wherein R¹³ is alkyl and R¹⁴ is
phenyl which phenyl is unsubstituted or substituted; *N*-oxide derivatives, prodrug derivatives,
~~protected derivatives, individual isomers and mixtures of isomers thereof;~~ and the
pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs,
compounds thereof having protected reactive groups, stereoisomers and mixtures of
stereoisomers thereof and the *N*-oxide derivatives, prodrug derivatives, protected derivatives,
individual isomers and mixtures of isomers thereof.

13. (Currently Amended) A compound of Claim 12 in which:

X³ is 1*H*-benzoimidazol-2-ylcarbonyl, pyrimidin-2-ylcarbonyl, benzooxazol-2-ylcarbonyl, benzothiazol-2-ylcarbonyl, pyridazin-3-ylcarbonyl, 3-phenyl-[1,2,4]oxadiazol-5-ylcarbonyl or 3-ethyl-[1,2,4]oxadiazol-5-ylcarbonyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylamino oxalyl, tetrahydro-pyran-4-ylamino oxalyl, 2-morpholin-4-yl-ethylamino oxalyl, cyclopentyl-ethyl-amino oxalyl, pyridin-3-ylamino oxalyl, phenylamino oxalyl or 1-benzoyl-piperidin-4-ylamino oxalyl;
X² is selected from -OH, dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, isopropylamino and cyclohexylamino;

R³ is cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl, -X⁵S(O)₂R¹³ or -X⁵S(O)₂R¹⁴, wherein R¹³ is alkyl and R¹⁴ is phenyl which phenyl is unsubstituted or substituted; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxides, prodrugs, compounds thereof having protected reactive groups, stereoisomers and mixtures of stereoisomers thereof and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

14. (Currently Amended) A compound of Claim 1 selected from the group consisting of:
(*R*)-*N*-cyanomethyl-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

(*R*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

(*S*)-diethyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-pyrrolidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-4-Ethyl-piperazine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-2-hydroxymethyl-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2,2,2-Trifluoro-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2-hydroxyethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(Tetrahydrofuran-2-ylmethyl)-carbamic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-Azetidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-cyclopropyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-piperidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*R*)-3-hydroxy-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-3-hydroxy-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-3-cyclohexyl-propyl ester;

morpholine-4-carboxylic acid (*R*)-1-[*(S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-[*(S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-[*(S)*-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

pyrrolidine-1-carboxylic acid (*R*)-1-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

dimethyl-carbamic acid (*R*)-1-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-[*(S)*-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*S*)-1-[*(S)*-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*S*)-1-[*(S)*-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

(*S*)-2-{(*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propanoylamino}-*N*-methoxy-*N*-methyl-butyramide;

(*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-*N*-((*S*)-1-formyl-propyl)-2-hydroxy-propionamide;

(*R*)-*N*-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;

(*S*)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;

N-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propionamide;

N-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-3-phenyl-propyl]-3-*p*-tolylmethanesulfonyl-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-pyrrolidin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-3-morpholin-4-yl-2,3-dioxo-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-piperazin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[3-(1,1-dioxo-116-thiomorpholin-4-yl)-1-ethyl-2,3-dioxo-propyl]-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[1-ethyl-3-(4-methyl-sulfonyl-piperazin-1-yl)-2,3-dioxo-propyl]-propionamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid dimethylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid cyclopentyl-ethyl-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid phenylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid pyridin-3-ylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (tetrahydro-pyran-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (1-benzoyl-piperidin-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (2-morpholin-4-yl-ethyl)-amide;

(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-phenylmethanesulfonyl-propionamide;

N-[1-(benzooxazole-2-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(pyrimidin-2-ylamino)-propionamide.

(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-phenylmethanesulfonyl-propionamide;

(2S) (4,4-difluoro-2-hydroxy-5-phenyl-pentanoic acid (1(S)-cyano-3-phenyl-propyl)-amide;
N-(1(S)-cyano-3-phenyl-propyl)-2-(S)-(2-morpholin-4-yl-2-oxo-ethoxy)-4-phenyl-butylamide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-fluoro-4-phenyl-butyramide;
N-(1-(S)-cyano-3-phenyl-propyl)-2,2-difluoro-4-phenyl-butyramide;
N-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-hydroxy-4-phenyl-butyramide;
N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-hydroxy-4-phenyl-butyramide;
N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-methoxy-4-phenyl-butyramide;
2,2-difluoro-5-phenyl-pentanoic acid (1-cyano-cyclopropyl)-amide;
N-(1-(S)-cyano-3-phenyl-propyl)-4-phenyl-butyramide;
2,2-difluoro-5-phenyl-pentanoic acid ((S)-1-cyano-3-phenyl-propyl)-amide;
N-(4-cyano-1-ethyl-piperidin-4-yl)-3-cyclohexyl-propionamide;
N-(4-cyano-1-ethyl-piperidin-4-yl)-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;
(S)-tert-butyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(R)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-(2-difluoromethoxy-phenylmethanesulfonyl)-ethyl ester;
(S)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(R)-morpholine-4-carboxylic acid 1-(1-cyano-cyclopropylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
(R)-morpholine-4-carboxylic acid 1-(4-cyano-tetrahydro-pyran-4-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
3-cyclohexyl-2-hydroxy-*N*-[1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-propionamide;
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;
(R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;
(R)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
(R)-*N*-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(1S)-N-[1-(benzooxazole-2-carbonyl)-butyl]-2-(S)-fluoro-4-phenyl-butylamide;

2,2-difluoro-5-phenyl-pentanoic acid [(S)-1-(benzoxazole-2-carbonyl)-butyl]-amide;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzooxazole-2-carbonyl)-propylcarbamoyl]-2-cyclohexyl-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-3-cyclohexyl-propyl ester;

4-[4,4-dimethyl-2-(morpholine-4-carbonyloxy)-pentanoylamino]-3-oxo-azepane-1-carboxylic acid benzyl ester;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cycloheptylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-3-phenylmethanesulfonyl-N-[(S)-3-phenyl-1-(thiazole-2-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-3-phenyl-propyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-cyclopropylmethanesulfonyl-N-[1-(5-ethyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-phenylmethanesulfonyl-N-[1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(3-cyclopropyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(S)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-thiophen-2-yl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[{(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;

((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[{(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[{(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[{(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;

((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[{(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[{(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-2-phenylmethanesulfonyl-1-{(S)-1-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

(R)-N-[1-(Benzoxazole-2-carbonyl)-butyl]-2-[cyclopropylmethyl-(tetrahydro-pyran-4-ylmethyl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;
N-cyanomethyl-3-cyclohexyl-propionamide;
N-cyanomethyl-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;
3-(3-cyclohexyl-propionylamino)-2-oxo-5-phenyl-pentanoic acid thiazol-2-ylamide;
3-cyclohexyl-*N*-(1-formyl-3-phenyl-propyl)-propionamide;
3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-propionamide;
N-[(S)-1-(benzooxazole-2-carbonyl)-propyl]-2-(2-cyano-phenylamino)-3-cyclohexyl-propionamide;
N-Cyanomethyl-3-cyclohexyl-2-(4-methoxy-phenoxy)-propionamide;
2-benzyloxy-*N*-cyanomethyl-3-cyclohexyl-propionamide;
(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-benzyloxy-3-phenylmethanesulfonyl-propionamide;
(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-methoxymethoxy-3-phenylmethanesulfonyl-propionamide;
(S)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-hydroxy-3-phenyl-propionamide;
(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-phenylmethanesulfonyl-2-triisopropylsilyloxy-propionamide;
(R)-*N*-[(S)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenylmethanesulfonyl-propionamide;
(R)-2-hydroxy-3-phenylmethanesulfonyl-*N*-[(S)-1-(1-pyridazin-3-yl-methanoyl)-butyl]-propionamide;
(S)-3-((R)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide;
(R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide; and
(2*R*,5*S*)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonylmethyl]-6-ethoxy-5-ethylmorpholin-3-one; or[[and]] their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

15. (Original) A compound of claim 14 selected from the group consisting of:
(*R*)-*N*-cyanomethyl-2-hydroxy-3-phenylmethanesulfonyl-propionamide;
(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-2-hydroxy-3-phenylmethanesulfonyl-propionamide;
(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;
(*R*)-*N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;
morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;
(*R*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
(*S*)-diethyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(*S*)-pyrrolidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(*S*)-4-Ethyl-piperazine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(*S*)-2-hydroxymethyl-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(*S*)-(2,2,2-Trifluoro-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(S)-(2-hydroxyethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(Tetrahydrofuran-2-ylmethyl)-carbamic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(S)-Azetidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(S)-cyclopropyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(S)-piperidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(S)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(R)-3-hydroxy-pyrrolidine-1-carboxylic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(S)-3-hydroxy-pyrrolidine-1-carboxylic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(S)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-3-cyclohexyl-propyl ester;
morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzoazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzoazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;
morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;
pyrrolidine-1-carboxylic acid (R)-1-[(S)-1-(1-benzoazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
dimethyl-carbamic acid (R)-1-[(S)-1-(1-benzoazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
morpholine-4-carboxylic acid (S)-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
morpholine-4-carboxylic acid (S)-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

(S)-2-{(R)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propanoylamino}-*N*-methoxy-*N*-methyl-butyramide;

(R)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-*N*-(*(S)*-1-formyl-propyl)-2-hydroxy-propionamide;

(*R*)-*N*-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;

(S)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;

N-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propionamide;

N-[*(S)*-1-(1-benzooxazol-2-yl-methanoyl)-3-phenyl-propyl]-3-*p*-tolylmethanesulfonyl-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-pyrrolidin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-3-morpholin-4-yl-2,3-dioxo-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-piperazin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[3-(1,1-dioxo-1*l*6-thiomorpholin-4-yl)-1-ethyl-2,3-dioxo-propyl]-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[1-ethyl-3-(4-methyl-sulfonyl-piperazin-1-yl)-2,3-dioxo-propyl]-propionamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid dimethylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid cyclopentyl-ethyl-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid phenylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid pyridin-3-ylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (tetrahydro-pyran-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (1-benzoyl-piperidin-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (2-morpholin-4-yl-ethyl)-amide;

(R)-N-[(S)-1-(1-benzoazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-phenylmethanesulfonyl-propionamide;

N-[1-(benzoazole-2-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(pyrimidin-2-ylamino)-propionamide.

(R)-N-[(S)-1-(1-benzoazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-phenylmethanesulfonyl-propionamide;

(2S) (4,4-difluoro-2-hydroxy-5-phenyl-pentanoic acid (1(S)-cyano-3-phenyl-propyl)-amide;
N-(1(S)-cyano-3-phenyl-propyl)-2-(S)-(2-morpholin-4-yl-2-oxo-ethoxy)-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-fluoro-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2,2-difluoro-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-hydroxy-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-hydroxy-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-methoxy-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid (1-cyano-cyclopropyl)-amide;

N-(1-(S)-cyano-3-phenyl-propyl)-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid ((S)-1-cyano-3-phenyl-propyl)-amide;

N-(4-cyano-1-ethyl-piperidin-4-yl)-3-cyclohexyl-propionamide;

N-(4-cyano-1-ethyl-piperidin-4-yl)-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;

(S)-tert-butyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(R)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-(2-difluoromethoxy-phenylmethanesulfonyl)-ethyl ester;

(S)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
(R)-morpholine-4-carboxylic acid 1-(1-cyano-cyclopropylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
(R)-morpholine-4-carboxylic acid 1-(4-cyano-tetrahydro-pyran-4-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
3-cyclohexyl-2-hydroxy-N-[1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-propionamide;
(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;
(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;
(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;
(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;
(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;
(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(1S)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-(S)-fluoro-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid [(S)-1-(benzoxazole-2-carbonyl)-butyl]-amide;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-2-cyclohexyl-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-3-cyclohexyl-propyl ester;

4-[4,4-dimethyl-2-(morpholine-4-carbonyloxy)-pentanoylamino]-3-oxo-azepane-1-carboxylic acid benzyl ester;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cycloheptylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-3-phenylmethanesulfonyl-N-[(S)-3-phenyl-1-(thiazole-2-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-3-phenyl-propyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-cyclopropylmethanesulfonyl-N-[1-(5-ethyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-phenylmethanesulfonyl-N-[1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(3-cyclopropyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(S)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-thiophen-2-yl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;

((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;

((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-2-phenylmethanesulfonyl-1-{(S)-1-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

(R)-N-[1-(Benzoxazole-2-carbonyl)-butyl]-2-[cyclopropylmethyl-(tetrahydro-pyran-4-ylmethyl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

N-cyanomethyl-3-cyclohexyl-propionamide;

N-cyanomethyl-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;

3-(3-cyclohexyl-propionylamino)-2-oxo-5-phenyl-pentanoic acid thiazol-2-ylamide;

3-cyclohexyl-*N*-(1-formyl-3-phenyl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-propionamide;

N-[(S)-1-(benzooxazole-2-carbonyl)-propyl]-2-(2-cyano-phenylamino)-3-cyclohexyl-propionamide;

N-Cyanomethyl-3-cyclohexyl-2-(4-methoxy-phenoxy)-propionamide;

2-benzylxy-*N*-cyanomethyl-3-cyclohexyl-propionamide;

(R)-N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-benzylxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-methoxymethoxy-3-phenylmethanesulfonyl-propionamide;
(*S*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-hydroxy-3-phenyl-propionamide;
(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-phenylmethanesulfonyl-2-triisopropylsilanyloxy-propionamide;
(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenylmethanesulfonyl-propionamide;
(*R*)-2-hydroxy-3-phenylmethanesulfonyl-*N*-[(*S*)-1-(1-pyridazin-3-yl-methanoyl)-butyl]-propionamide;
(*S*)-3-((*R*)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide;
(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;
(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide; and
(2*R*,5*S*)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonylmethyl]-6-ethoxy-5-ethylmorpholin-3-one.

16. (Currently Amended) A compound of claim 15 selected from the group consisting of:
morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 31);
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 11);
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 14);
morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 15);
pyrrolidine-1-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 19);
dimethyl-carbamic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 20);

morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 25);
morpholine-4-carboxylic acid (S)-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
morpholine-4-carboxylic acid (S)-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
(R)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-N-((S)-1-formyl-propyl)-2-hydroxy-propionamide;
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;
(S)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-phenylmethanesulfonyl-propionamide;
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-phenylmethanesulfonyl-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;
(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;
morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;
(S)-3-((R)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide; and
(R)-N-[(S)-1-(1-benzoxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide.

17. (Original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 in combination with a pharmaceutically acceptable excipient.
18. (Original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 2 in combination with a pharmaceutically acceptable excipient.
19. (Withdrawn) A method for treating a disease in an animal in which inhibition of Cathepsin S can prevent, inhibit or ameliorate the pathology and/or symptomology of the disease, which method comprises administering to the animal a therapeutically effective amount of compound of Claim 1 or Claim 2.
20. (Withdrawn) The use of a compound of Claim 1 or 2 in the manufacture of a medicament for treating a disease in an animal in which Cathepsin S activity contributes to the pathology and/or symptomology of the disease.